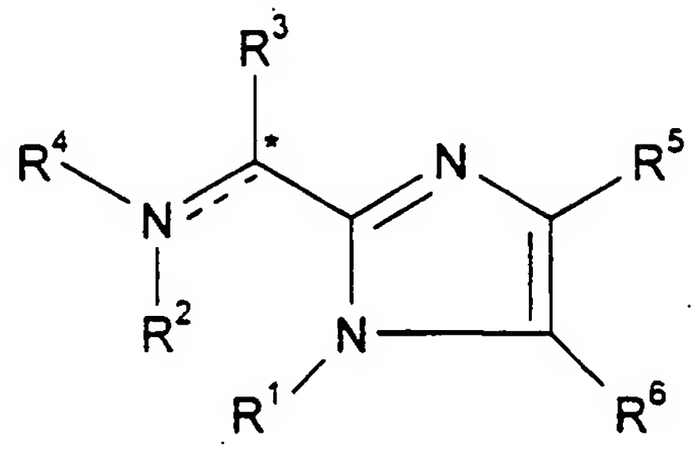
CLAIMS

What is claimed is:

1. A compound of the formula (I),



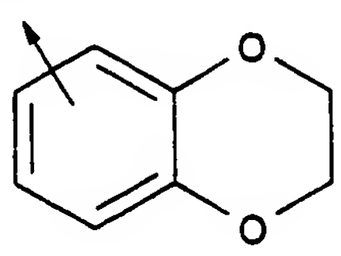
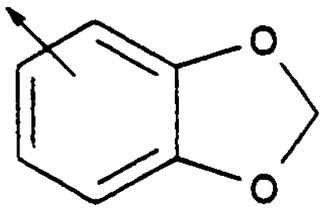
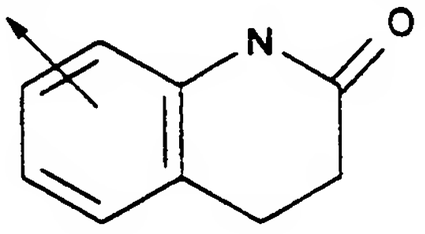
(I)

the racemic-diastereomeric mixtures and optical isomers of said compound of formula (I), the pharmaceutically-acceptable salts and prodrugs thereof or a pharmaceutically acceptable salt thereof,

wherein

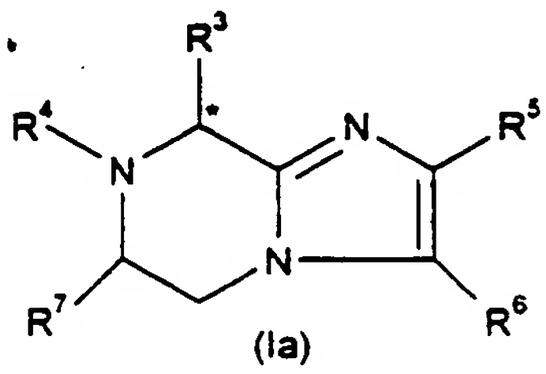
------ represents an optional bond;

R^1 is H, $-(CH_2)_m-C(O)-(CH_2)_m-Z^1$, $-(CH_2)_m-Z^1$, $-(CH_2)_m-O-Z^1$ or $-(C_0-C_6)$alkyl-C(O)-NH-$(CH_2)_m-Z^3$;

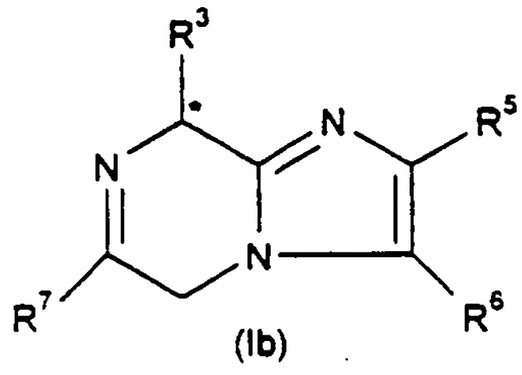
Z^1 is an optionally substituted moiety selected from the group consisting of (C_1-C_{12})alkyl, benzo[b]thiophene, phenyl, naphthyl, benzo[b]furanyl, thiophene, isoxazolyl, indolyl,  ,  or  ;

R^2 is H or (C_1-C_6)alkyl;

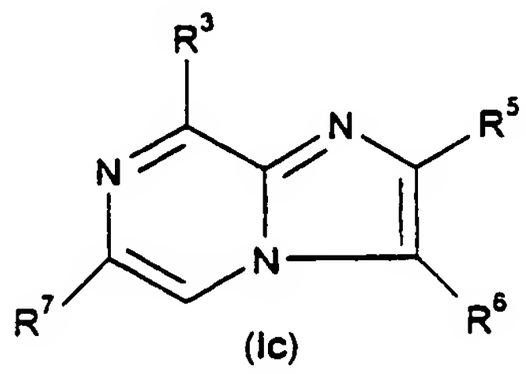
or R^1 and R^2 are taken together with the nitrogen atoms to which they are attached to form a compound of formula (Ia), (Ib) or (Ic),



(Ia)

, 

(Ib)

or 

(Ic)

;

R^3 is $-(CH_2)_m-E-(CH_2)_m-Z^2$;

E is O, S,-C(O)-, -C(O)-O-, -NH-C(O)-O- or a bond;

Z^2 is H, $(C_1\text{-}C_{12})$alkyl, amino, $(C_1\text{-}C_{12})$alkylamino, N,N-di-$(C_1\text{-}C_{12})$alkylamino, $(C_1\text{-}C_{12})$alkylguanidino, or an optionally substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl and naphthyl;

R^4 is H or $-(CH_2)_m\text{-}A^1$;

A^1 is $-C(=Y)\text{-}N(X^1X^2)$, $-C(=Y)\text{-}X^2$, $-C(=NH)\text{-}X^2$ or X^2;

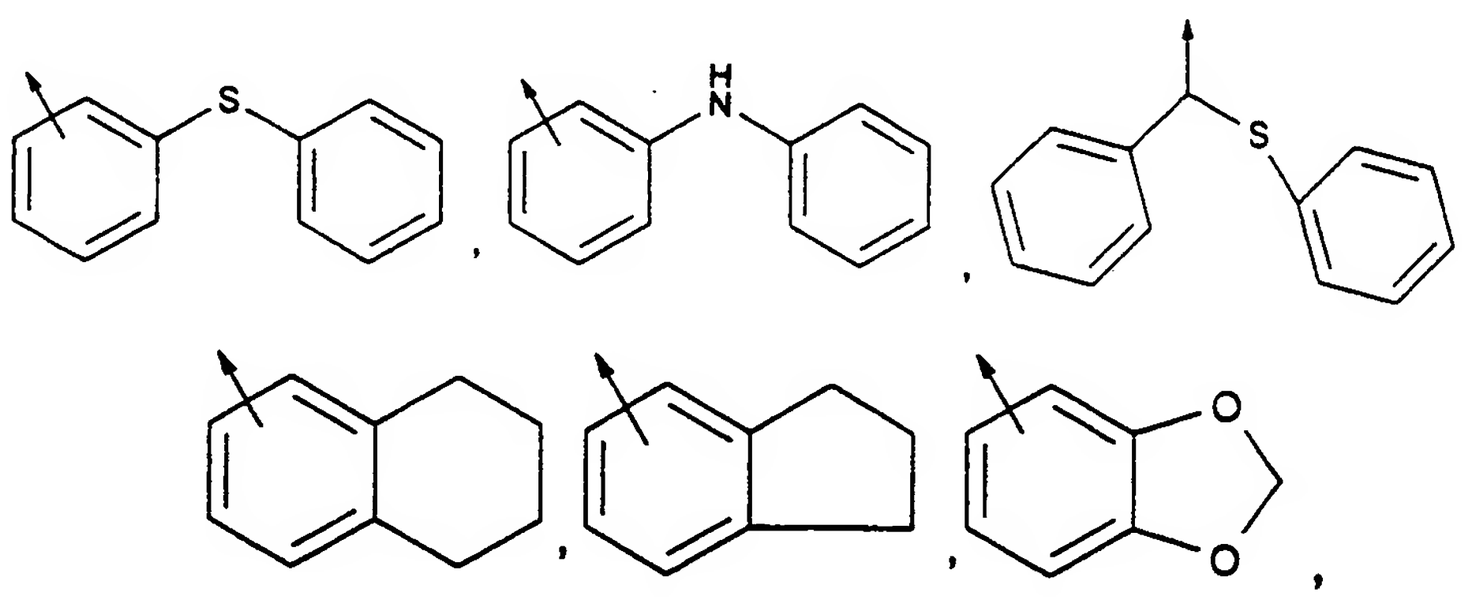
Y is O or S;

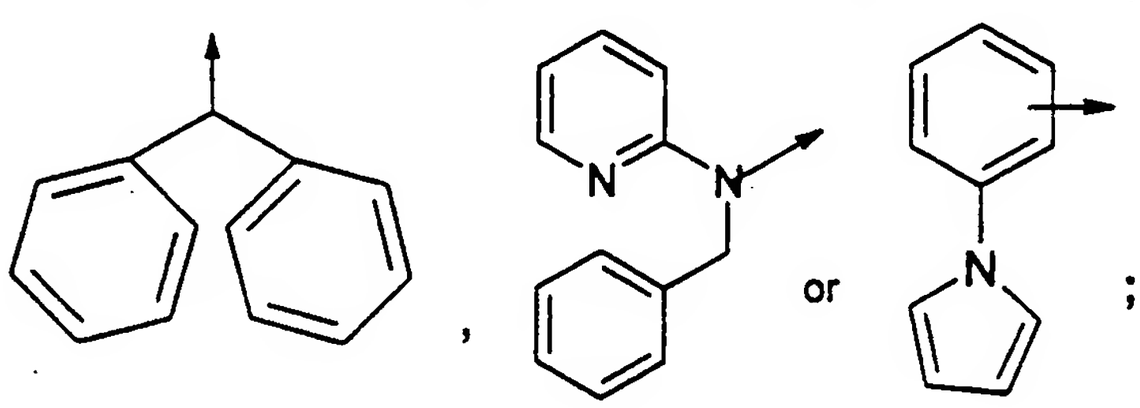
X^1 is H, $(C_1\text{-}C_{12})$alkyl, $-(CH_2)_m\text{-}NH\text{-}(C_1\text{-}C_6)$alkyl, $-(CH_2)_m\text{-}N\text{-}di\text{-}(C_1\text{-}C_6)$alkyl or $-(CH_2)_m$-aryl;

X^2 is $-(CH_2)_m\text{-}Y^1\text{-}X^3$ or optionally substituted $(C_1\text{-}C_{12})$alkyl;

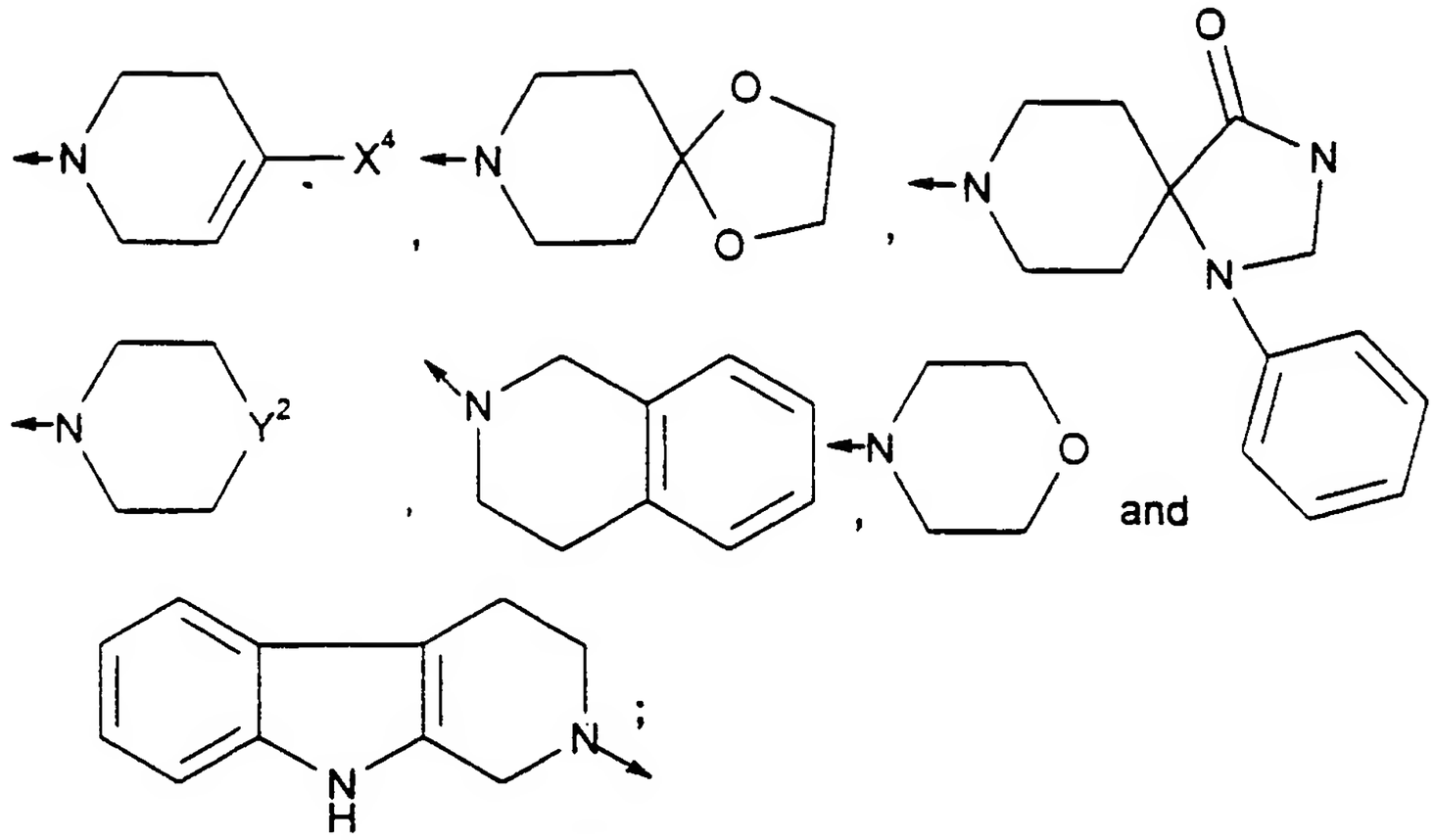
Y^1 is O, S, NH, C=O, $(C_2\text{-}C_{12})$alkenyl having one or more double bonds, -NH-CO-, -CO-NH-, $-NH\text{-}CO\text{-}O\text{-}(CH_2)_m$-, $-C\equiv C$-, SO_2 or a bond;

X^3 is H, an optionally substituted moiety selected from the group consisting of $(C_1\text{-}C_{12})$alkyl, $(C_3\text{-}C_8)$cycloalkyl, $(C_1\text{-}C_{12})$alkoxy, aryloxy, $(C_1\text{-}C_{12})$alkylamino, N,N-di-$(C_1\text{-}C_{12})$alkylamino, $-CH\text{-}di\text{-}(C_1\text{-}C_{12})$alkoxy, pyrrolidinyl, pyridinyl, thiophene, imidazolyl, piperidinyl, piperazinyl, benzothiazolyl, furanyl, indolyl, morpholino, benzo[b]furanyl, quinolinyl, isoquinolinyl, $-(CH_2)_m$-phenyl, naphthyl, fluorenyl, phthalamidyl, pyrimidinyl,





or X^1 and X^2 are taken together with the nitrogen to which they are attached to form an optionally substituted moiety selected from the group consisting of thiazolyl

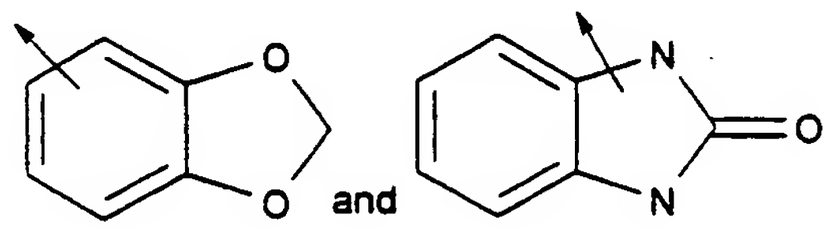


Y^2 is CH-X^4, N-X^4, -C(X^4X^4), O or S;

X^4 for each occurrence is independently -$(CH_2)_m$-Y^3-X^5;

Y^3 is -C(O)-, -C(O)O- or a bond;

X^5 is hydroxy, (C_1-C_{12})alkyl, amino, (C_1-C_{12})alkylamino, N,N-di-(C_1-C_{12})alkylamino, or an optionally substituted moiety selected from the group consisting of aryl, aryl(C_1-C_4)alkyl, furanyl, pyridinyl, indolyl, -CH(phenyl)$_2$,



;

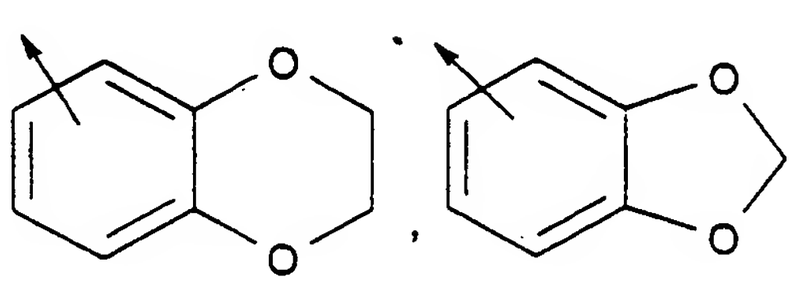
R^5 is (C_1-C_{12})alkyl, (C_0-C_6)alkyl-C(O)-O-Z^5, (C_0-C_6)alkyl-C(O)-NH-$(CH_2)_m$-Z^3 or optionally substituted aryl;

Z^3 for each occurrence is independently amino, (C_1-C_{12})alkylamino, N,N-di-(C_1-C_{12})alkylamino, -NH-C(O)-O-$(CH_2)_m$-phenyl -NH-C(O)-O-$(CH_2)_m$-(C_1-C_6)alkyl or an optionally substituted moiety selected from the group consisting of imidazolyl, pyridinyl, morpholino, piperidinyl, piperazinyl, pyrazolidinyl, furanyl and thiophene;

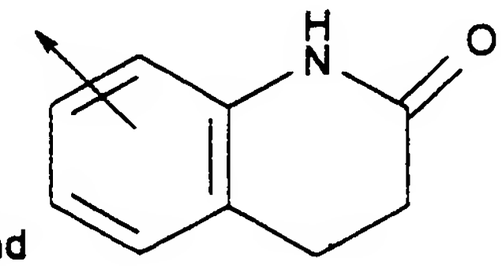
R^6 is H or (C_1-C_6)alkyl;

R^7 is (C_1-C_{12})alkyl or -$(CH_2)_m$-Z^4;

Z^4 is an optionally substituted moiety selected from the group consisting of phenyl, naphthyl, indolyl, thiophene, benzo[b]furan, benzo[b]thiophene, isoxazolyl,



and



Z^5 is H, $(C_1\text{-}C_{12})$alkyl, $(CH_2)_m$-aryl;

wherein an optionally substituted moiety is optionally substituted by one or more substituents, each independently selected from the group consisting of Cl, F, Br, I, CF_3, CN, N_3, NO_2, OH, SO_2NH_2, $-OCF_3$, $(C_1\text{-}C_{12})$alkoxy, $-(CH_2)_m$-phenyl-$(X^6)_n$, -S-phenyl-$(X^6)_n$, -S-$(C_1\text{-}C_{12})$alkyl, -O-$(CH_2)_m$-phenyl-$(X^6)_n$, $-(CH_2)_m$-C(O)-O-$(C_1\text{-}C_6)$alkyl, $-(CH_2)_m$-C(O)-$(C_1\text{-}C_6)$alkyl, -O-$(CH_2)_m$-NH_2, -O-$(CH_2)_m$-NH-$(C_1\text{-}C_6)$alkyl, -O-$(CH_2)_m$-N-di-$((C_1\text{-}C_6)$alkyl) and $-(C_0\text{-}C_{12})$alkyl-$(X^6)_n$;

X^6 for each occurrence is independently selected from the group consisting of hydrogen, Cl, F, Br, I, NO_2, N_3, CN, OH, $-CF_3$, $-OCF_3$, $(C_1\text{-}C_{12})$alkyl, $(C_1\text{-}C_{12})$alkoxy, $-(CH_2)_m$-NH_2, $-(CH_2)_m$-NH-$(C_1\text{-}C_6)$alkyl, $-(CH_2)_m$-N-di-$((C_1\text{-}C_6)$alkyl) and $-(CH_2)_m$-phenyl;

m for each occurrence is independently 0 or an integer from 1 to 6; and

n for each occurrence is independently an integer from 1 to 5;

provided that:

(a) when R^5 is $(C_1\text{-}C_{12})$alkyl, or $-C(O)-O-Z^5$ and Z^5 is $(C_1\text{-}C_{12})$alkyl or optionally substituted aryl; R^6 is H or $(C_1\text{-}C_6)$alkyl; R^7 is $(C_1\text{-}C_{12})$alkyl or Z^4 and Z^4 is thiophene or optionally substituted phenyl, then R^3 is not $-C(O)-O-(CH_2)_m-Z$ where m is 0 and Z is H or $(C_1\text{-}C_{12})$alkyl or where m is 1 to 6 and Z is H;

(b) when R^5 is $(C_1\text{-}C_{12})$alkyl or optionally subsituted phenyl; R^6 is H or $(C_1\text{-}C_6)$alkyl; R^7 is $(C_1\text{-}C_{12})$alkyl and R^3 is $-O-(CH_2)-Z^2$, then Z^2 is not an optionally substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl, and naphthyl; and

(c) when R^5 is H or $(C_1\text{-}C_{12})$alkyl; R^6 is $(C_1\text{-}C_6)$alkyl; R^7 is $(C_1\text{-}C_{12})$alkyl; and R^3 is $-O-Z^2$ or $-S-Z^2$, then Z^2 is not an optionally substituted moiety selected from the group consisting of phenyl, naphthyl, thiophene, benzothienyl and indolyl.

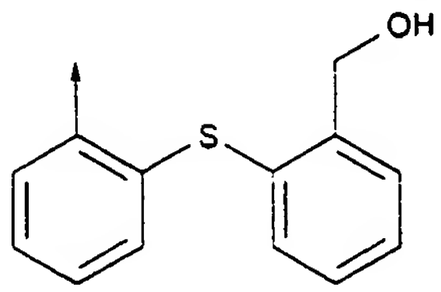
2. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is $-CH_2$-phenyl; R^4 is $-(CH_2)_m-A^1$ where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

wh re A^1 is $-C(=Y)-N(X^1X^2)$;

Y is O; X^1 is H or methyl;

X^2 is $-(CH_2)_m-Y^1-X^3$;

m in the definition of X^2 is 0, 1, 2 or 3; Y^1 is a bond or O; and X^3 is N-methylpyrrolidin-2-yl, diethylamino, pyridinyl, thiophene, imidazolyl, diethoxymethyl, 1-benzyl-piperidin-4-yl, optionally substituted phenyl or

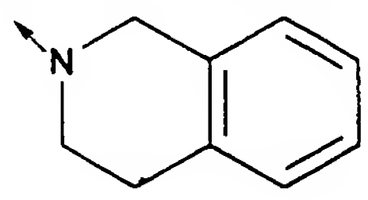
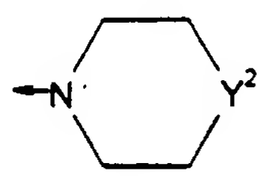
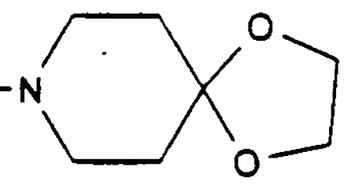


3. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is $-CH_2$-phenyl; R^4 is $-(CH_2)_m-A^1$ where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

where A^1 is $-C(=Y)-N(X^1X^2)$;

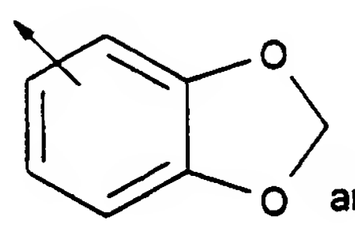
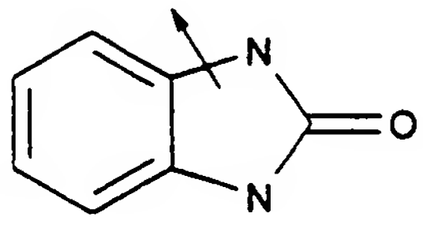
Y is O;

X^1 is benzyl and X^2 is 2-hydroxyethyl;

or X^1 and X^2 are taken together with the nitrogen atom to which they are attached to form  ,  or  ;

where Y^2 is $C-X^4$ or $N-X^4$;

X^4 is $-(CH_2)_m-Y^3-X^5$ where m in the definition of X^4 is 0 or 1; and

X^5 is selected from the group consisting of furanyl, benzyl, phenyl, amino,  and 

4. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is $-CH_2$-phenyl; R^4 is $-(CH_2)_m-A^1$ where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

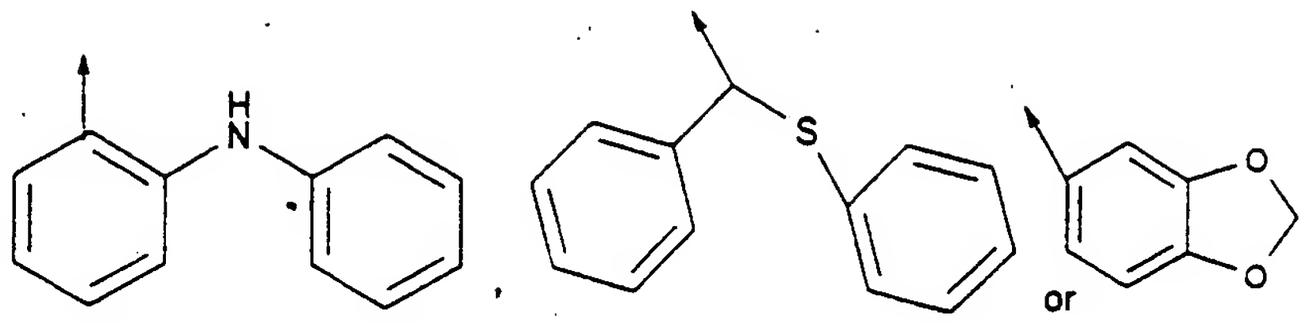
where A^1 is $-C(=Y)-X^2$;

Y is O; X^2 is $-(CH_2)_m-Y^1-X^3$;

where m in the definition of X^2 is 0, 1 or 2;

Y^1 is O, -NH-CO-, -CO-NH-, $-NH-CO-O-CH_2-$, SO_2 or a bond; and

X^3 is methyl, furanyl, pentyl, phenyl, indolyl, p-NO_2-phenyl, naphthyl, fluorenyl, $-CH(phenyl)_2$, benzothiazolyl, phthalamidyl, N,N-dimethylamino,



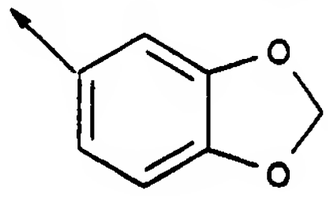
5. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is -CH_2-indol-3-yl; R^4 is -$(CH_2)_m$-A^1 where m in the definition of R^4 is 0; R^5 is phenyl or t-Bu; R^6 is H;

A^1 is -C(=Y)-N(X^1X^2);

Y is O or S; X^1 is H; X^2 is -$(CH_2)_m$-Y^1-X^3;

m in the definition of X^2 is 0, 1 or 2;

Y^1 is a bond; and X^3 is phenyl, o-Cl-phenyl, m-Cl-phenyl, p-phenyloxy-phenyl, 2,6-di-isopropylphenyl, m-CF_3-phenyl, p-ethoxycarbonyl-phenyl, 2,4-difluorophenyl, m-NO_2-phenyl, p-benzyloxyphenyl, o-isopropylphenyl, n-hexyl, 4-



morpholino, naphthyl or

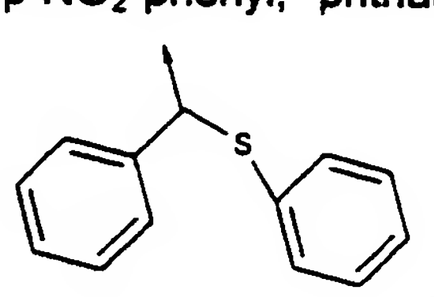
6. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is -CH_2-indol-3-yl; R^4 is -$(CH_2)_m$-A^1 where m in the definition of R^4 is 0; R^5 is phenyl or t-Bu; R^6 is H;

where A^1 is -C(=Y)-X^2;

Y is O; X^2 is -$(CH_2)_m$-Y^1-X^3;

where m in the definition of X^2 is 0, 1 or 2;

Y^1 is O, -CO-NH-, -NH-CO-O-CH_2-or a bond; and X^3 is methyl, 3-pentyl, phenyl, p-NO_2-phenyl, phthalamidyl, N,N-dimethylamino, p-aminophenyl, fluorenyl or



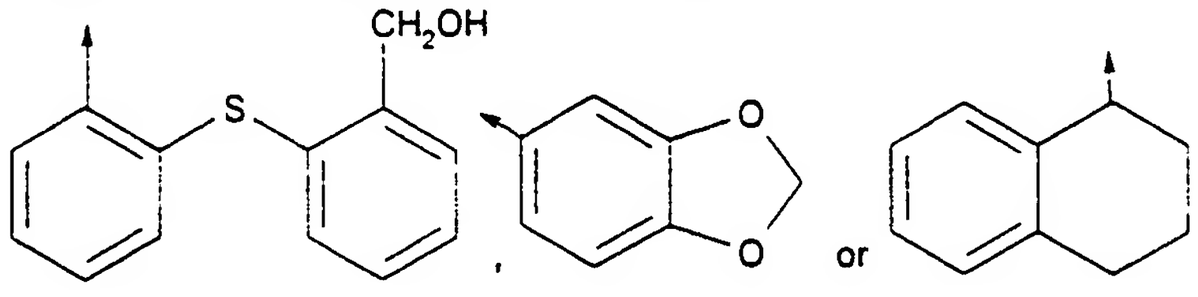
7. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is -CH_2-indol-3-yl; R^4 is -$(CH_2)_m$-A^1 where m in the definition of R^4 is 0; R^5 is phenyl or t-Bu; R^6 is H;

where A^1 is -C(=Y)-N(X^1X^2);

Y is O; X^1 is hydrogen; X^2 is -$(CH_2)_m$-Y^1-X^3;

where m in the definition of X^2 is 0, 1, 2 or 3;

Y^1 is O, or a bond; and X^3 is cyclopentyl, 4-OH-butyl, N,N-diethylamino, N-methyl-pyrrolidin-3-yl, -CH(ethoxy)$_2$, phenyl, p-SO_2NH_2-phenyl p-OH-phenyl, o-CF_3-phenyl, p-Cl-phenyl, -CH(phenyl)$_2$,



8. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is -CH_2-indol-3-yl; R^4 is -$(CH_2)_m$-A^1 where m in the definition of R^4 is 0; R^5 is phenyl or t-Bu; R^6 is H;

where A^1 is -C(=Y)-X^2;

Y is O; X^2 is -$(CH_2)_m$-Y^1-X^3;

where m in the definition of X^2 is 0, 1, 2 or 3;

Y^1 is -NH-CO, -C=C-, -C≡C- or a bond; and X^3 is t-butyl, 1-methylcarbonyl-piperidin-4-yl, phenyl, p-Cl-phenyl, m-CF_3-phenyl, 4-nitro-naphthyl, p-methoxy-phenyl, m-(phenylethyl)-phenyl, indol-3-yl or p-aminophenyl.

9. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is -CH_2-indol-3-yl, -$(CH_2)_4$-NH-CO-O-t-Bu or -$(CH_2)_4$-NH_2; R^4 is -$(CH_2)_m$-A^1 where m in the definition of R^4 is 0; R^5 is phenyl, o-methoxyphenyl, p-Br-phenyl, p-nitro-phenyl or p-N,N-diethylamino-phenyl; R^6 is H;

where A^1 is -C(=Y)-N(X^1X^2);

Y is O; X^1 is H; X^2 is -$(CH_2)_m$-Y^1-X^3;

where m in the definition of X^2 is 0;

Y^1 is a bond; and X^3 is o-Br-phenyl, m-Br-phenyl, p-Br-phenyl, o-Cl-phenyl, m-Cl-phenyl, p-Cl-phenyl, o-nitro-phenyl, m-nitro-phenyl, p-nitro-phenyl, o-CF_3-phenyl, m-CF_3-phenyl, p-CF_3-phenyl, p-F-phenyl, 2,4-di-F-phenyl, 2,5-di-F-phenyl, 2,5-di-methoxy-phenyl, m-OMe-phenyl, p-OMe-phenyl, 2-CF_3-4-Cl-phenyl or 3-nitro-4-F-phenyl.

10. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is -CH_2-indol-3-yl, -$(CH_2)_4$-NH-CO-O-t-Bu or -$(CH_2)_4$-NH_2; R^4 is -$(CH_2)_m$-A^1 where m in the definition of R^4 is 0; R^5 is phenyl, o-methoxyphenyl, p-methoxyphenyl, p-Br-phenyl, p-nitro-phenyl or p-N,N-diethylamino-phenyl; R^6 is H;

where A^1 is -C(=Y)-X^2;

Y is O; X^2 is -$(CH_2)_m$-Y^1-X^3;

where m in the definition of X^2 is 1;

Y^1 is a bond; and X^3 is phenyl, o-Br-phenyl, m-Br-phenyl, p-Br-phenyl, o-Cl-phenyl, m-Cl-phenyl, p-Cl-phenyl, o-nitro-phenyl, m-nitro-phenyl, p-nitro-phenyl, o-CF_3-phenyl, m-CF_3-phenyl, p-CF_3-phenyl, o-F-phenyl, m-F-phenyl, p-F-phenyl, N,N-di-methylamino-phenyl, o-OMe-phenyl, m-OMe-phenyl, p-OMe-phenyl, 3,4-di-Cl-phenyl, 3,4,5-tri-OMe-phenyl, p-Me-phenyl, p-OH-phenyl or 2,4-di-F-phenyl.

11. A compound according to claim 9 wherein R^5 is phenyl and R^3 is -(CH_2)-indol-3-yl and the stereochemistry at the carbon to which R^3 is attached is the R-configuration.

12. A compound according to claim 10 wherein R^5 is phenyl and R^3 is -(CH_2)-indol-3-yl and the stereochemistry at the carbon to which R^3 is attached is the R-configuration.

13. A compound according to claim 10 wherein R^5 is o-OMe-phenyl and R^3 is -(CH_2)-indol-3-yl and the stereochemistry at the carbon to which R^3 is attached is the R-configuration.

14. A compound according to claim 10.wherein R^5 is o-OMe-phenyl and R^3 is -(CH_2)-indol-3-yl and the stereochemistry at the carbon to which R^3 is attached is the S-configuration.

15. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is -$(CH_2)_4$-NH-CO-O-t-Bu or -$(CH_2)_4$-NH_2; R^4 is -$(CH_2)_m$-A^1 where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

where A^1 is -C(=Y)-X^2;

Y is O; X^2 is -$(CH_2)_m$-Y^1-X^3;

where m in the definition of X^2 is 0, 1 or 2;

Y^1 is S, SO_2 or a bond; and X^3 is phenyl, 3,4-di-Cl-phenyl, 3,4,5-tri-OMe-phenyl, p-Me-phenyl, p-OH-phenyl, 2,4-di-F-phenyl, 2-furanyl, 2-pyridinyl, 3-pyridinyl, naphthyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 8-quinolinyl, 1-isoquinolinyl, 2-thiophene or 2-pyrimidinyl.

16. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is -$(CH_2)_4$-NH-CO-O-t-Bu or -$(CH_2)_4$-NH_2; R^4 is -$(CH_2)_m$-A^1 where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

where A^1 is -C(=Y)-X^2;

Y is O; X^2 is -$(CH_2)_m$-Y^1-X^3;

wh r m in the definition of X^2 is 0, 1, 2 or 3;

Y^1 is a bond; and X^3 is 5-indolyl, 3-indolyl, 4-indolyl, 2-indolyl, 5-OMe-indol-3-yl, 5-OMe-indol-2-yl, 5-OH-indol-2-yl, 5-OH-indol-3-yl, 5-Br-indol-3-yl, 2-Me-indol-3-yl, 2-benzothiophene, 3-benzothiophene or 2-benzofuran.

17. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is $-(CH_2)_m$-indol-3-yl, $-(CH_2)_4$-NH-CO-O-t-Bu or $-(CH_2)_4-NH_2$; R^4 is $-(CH_2)_m-A^1$ where m in the definition of R^4 is 0; R^5 is phenyl, o-OMe-phenyl or p-OMe-phenyl; R^6 is H;

where A^1 is X^2;

X^2 is $-(CH_2)_m-Y^1-X^3$;

where m in the definition of X^2 is 1, 2 or 3;

Y^1 is S, O or a bond; and X^3 is phenyl, o-OH-phenyl, p-OH-phenyl, o-F-phenyl, m-F-phenyl, p-F-phenyl, o-CF_3-phenyl, o-OMe-phenyl, m-OMe-phenyl, o-nitro-phenyl, p-nitro-phenyl, 3,4-di-Cl-phenyl, 2-nitro-3-OMe-phenyl, o-Br-phenyl, m-Br-phenyl, p-Br-phenyl, 2-thiophene, 3,4,5-tri-OMe-phenyl, p-N,N-dimethylamino-phenyl, p-OCF_3-phenyl, p-(3-(N,N-dimethylamino)propoxy)phenyl, 3-F-4-OMe-phenyl, 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 2-Cl-quinolin-3-yl, 2-quinolinly, methyl, n-butyl, n-pentyl, n-hexyl, 3,3-dimethyl-butyl, benzyl, cyclohexyl or p-t-Bu-phenyl.

18. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is $-(CH_2)_4$-NH-CO-O-t-Bu or $-(CH_2)_4-NH_2$; R^4 is $-(CH_2)_m-A^1$ where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

where A^1 is X^2;

X^2 is $-(CH_2)_m-Y^1-X^3$;

where m in the definition of X^2 is 1, 2 or 3;

Y^1 is O or a bond; and X^3 is phenyl, o-OH-phenyl, p-OH-phenyl, o-F-phenyl, m-F-phenyl, p-F-phenyl, o-CF_3-phenyl, o-OMe-phenyl, m-OMe-phenyl, p-OMe-phenyl, o-nitro-phenyl, p-nitro-phenyl, 3,4-di-Cl-phenyl, 2-nitro-3-OMe-phenyl, o-Br-phenyl, m-Br-phenyl, p-Br-phenyl, p-phenyl-phenyl, 2-thiophene, 3,4,5-tri-OMe-phenyl, p-N,N-dimethylamino-phenyl, p-benzyloxy-phenyl, p-OCF_3-phenyl, p-(3-(N,N-dimethylamino)propoxy)phenyl, 3-F-4-OMe-phenyl, 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 2-Cl-quinolin-3-yl, 2-quinolinly, 3-indolyl, 6-methoxycarbonyl-indol-3-yl, 1-methyl-indol-3-yl, 2-methyl-indol-3-yl, methyl, n-butyl, n-pentyl, n-hexyl, 3,3-dimethyl-butyl, benzyl, cyclohexyl or p-t-Bu-phenyl.

19. A compound according to claim 1 wherein R^1 is $-(CH_2)$-CO-Z^1; R^2 is H; R^3 is $-(CH_2)_4$-NH-CO-O-t-Bu, $-(CH_2)_4$-NH-CO-O-benzyl, $-(CH_2)$-phenyl or $-(CH_2)$-indol-3-yl; R^4 is $-(CH_2)_m-A^1$ wh r m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

where Z^1 is ethyl, phenyl, p-OMe-phenyl, p-phenyl-phenyl, p-Cl-phenyl, p-Br-phenyl, p-N_3-phenyl, p-F-phenyl, m-nitro-phenyl, p-nitro-phenyl, p-CN-phenyl, 2,5-di-OMe-phenyl, 3,4-di-Cl-phenyl, N,N-dimethylamino-phenyl, 3-methyl-4-Cl-phenyl or naphthyl;

A^1 is $-C(=Y)-X^2$;

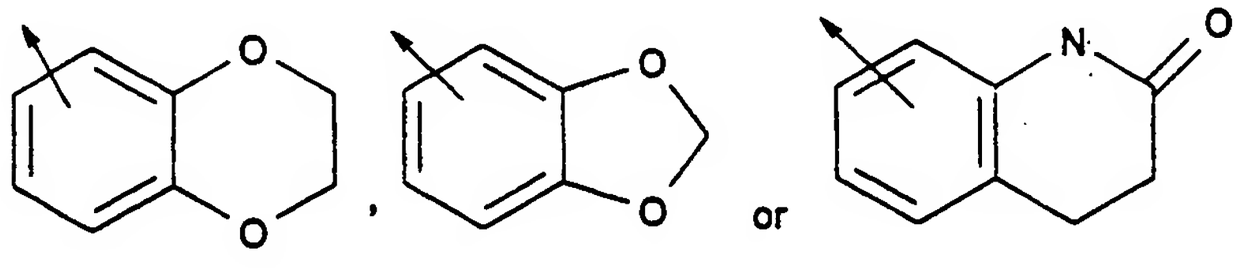
Y is O; X^2 is $-(CH_2)_m-Y^1-X^3$;

where m in the definition of X^2 is 0;

Y^1 is O; and X^3 is t-Bu.

20. A compound according to claim 1 wherein R^1 is $-(CH_2)-CO-(CH_2)_m-Z^1$ where m in the definition of R^1 is 0, 1 or 2; R^2 is H; R^3 is $-(CH_2)$-indol-3-yl or $-(CH_2)_4-NH-CO-O$-t-Bu; R^4 is H or $-(CH_2)_m-A^1$ where m in the definition of R^4 is 0; R^5 is phenyl, o-OMe-phenyl, p-nitro-phenyl, p-Br-phenyl, t-Bu, $-CH(CH_3)_2-CO-NH-(CH_2)_2-CO-O$-t-Bu, $-CH(CH_3)_2-CO-NH-(CH_2)_3$-imidazol-1-yl, $-CH(CH_3)_2-CO-NH-(CH_2)_2$-pyridin-2-yl, $-CH(CH_3)_2-CO-NH-(CH_2)_3$-4-morpholino, $-CH(CH_3)_2-CO-NH-(CH_2)$-pyridin-4-yl or $-CH(CH_3)_2-CO-NH-(CH_2)_2$-N,N-diethylamino; R^6 is H;

where Z^1 is ethyl, propyl, phenyl, p-OMe-phenyl, p-Cl-phenyl, p-Br-phenyl, p-F-phenyl, p-nitro-phenyl, m-nitro-phenyl, p-CN-phenyl, p-N_3-phenyl, p-phenyl-ph nyl, 3-Me-4-Cl-phenyl, p-N,N-diethylamino-phenyl, 2,5-di-OMe-phenyl, 3,4-di-Cl-ph nyl, 3,4-di-F-phenyl, p-OCF_3-phenyl, p-benzyloxy-phenyl, p-pentyl-phenyl, 3,4,5-tri-OMe-phenyl, 3-nitro-4-Cl-phenyl, 3-Cl-4-nitro-phenyl, 3-methyl-5-chloro-benzothiophen-2-yl, 2-benzofuranyl, 3-benzothiophene, 3-phenyl-isoxazol-5-yl, 3-(2,4-di-Cl-phenyl)-isoxazol-5-yl, 3-indolyl, 5-Br-thiophen-2-yl, naphthyl,



;

A^1 is $-C(=Y)-X^2$;

Y is O; X^2 is $-(CH_2)_m-Y^1-X^3$;

where m in the definition of X^2 is 0;

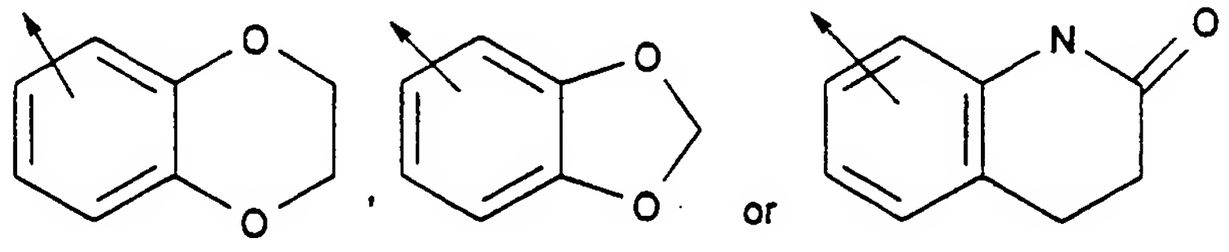
Y^1 is O; and X^3 is t-Bu.

21. A compound according to claim 1 wherein R^1 and R^2 are taken tog ther to form a compound of formula (Ib) or (Ic);

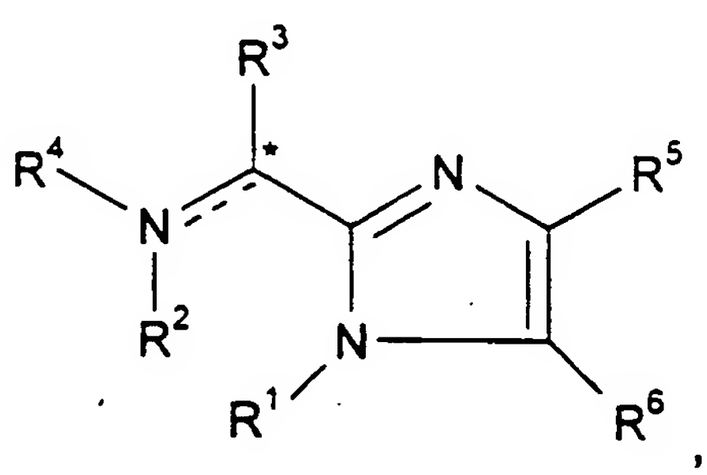
R^3 is $-(CH_2)$-indol-3-yl, $-(CH_2)$-phenyl, $-(CH_2)_4-NH-CO-O$-benzyl or $-(CH_2)_4-NH_2$;

R^5 is ph nyl, o-OM -phenyl, p-OMe-ph nyl, p-Br-phenyl, p-nitro-phenyl, t-Bu or $-CH(CH_3)_2-CO-NH-(CH_2)_2-NH_2$; R^6 is H;

R^7 is ethyl, propyl, phenyl, p-OMe-phenyl, p-Cl-phenyl, p-Br-phenyl, p-F-phenyl, p-nitro-phenyl, m-nitro-ph nyl, p-CN-phenyl, p-N_3-phenyl, p-phenyl-phenyl, 3-Me-4-Cl-phenyl, p-N,N-diethylamino-phenyl, 2,5-di-OMe-phenyl, 3,4-di-Cl-phenyl, 3,4-di-F-phenyl, p-OCF_3-phenyl, p-benzyloxy-phenyl, p-pentyl-phenyl, 3,4,5-tri-OMe-phenyl, 3-nitro-4-Cl-phenyl, 3-Cl-4-nitro-phenyl, 3-methyl-5-chloro-benzothiophen-2-yl, 2-bezofuranyl, 3-benzothiophene, 3-phenyl-isoxazol-5-yl, 3-(2,4-di-Cl-phenyl)-isoxazol-5-yl, 3-indolyl, 5-Br-thiophen-2-yl, naphthyl,



22. A compound of the formula (II),



(II)

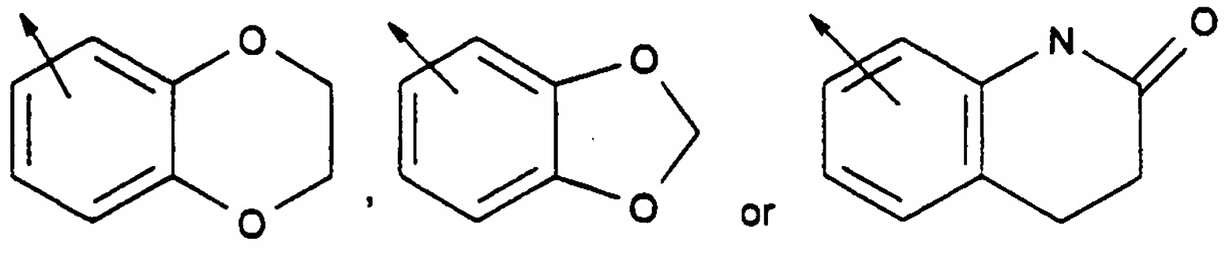
the racemic-diastereomeric mixtures and optical isomers of said compound of formula (II), the pharmaceutically-acceptable salts or prodrugs thereof or a pharmaceutically acceptable salt of said prodrug,

wherein

------ represents an optional bond;

R^1 is H, $-(CH_2)_m-C(O)-(CH_2)_m-Z^1$, $-(CH_2)_m-Z^1$, $-(CH_2)_m-O-Z^1$ or $-(C_0-C_6)$alkyl-C(O)-NH-$(CH_2)_m-Z^3$;

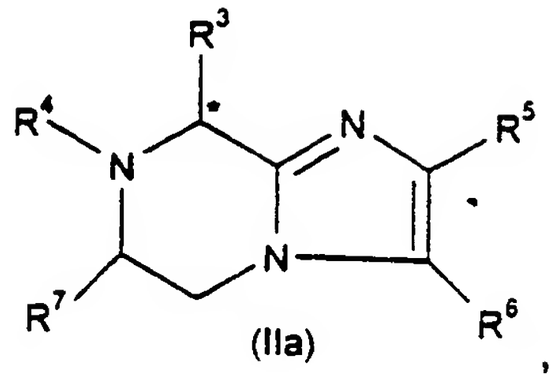
Z^1 is an optionally substituted moiety selected from the group consisting of (C_1-C_{12})alkyl, benzo[b]thiophene, phenyl, naphthyl, benzo[b]furanyl, thiophene, isoxazolyl, indolyl,

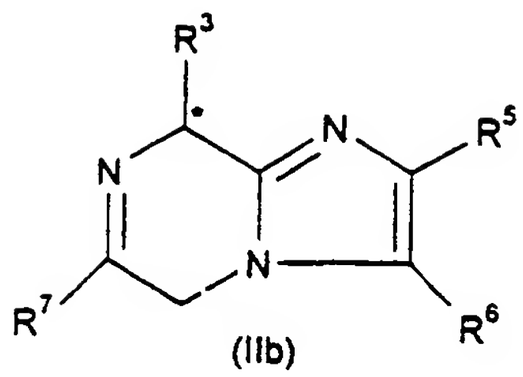


;

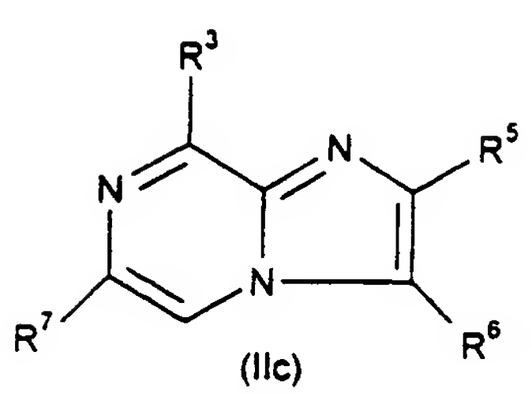
R^2 is H or (C_1-C_6)alkyl;

or R^1 and R^2 are taken together with the nitrogen atoms to which they are attached to form a compound of formula (IIa), (IIb) or (IIc),


(IIa)


(IIb)

or


(IIc)

;

R^3 is $-(CH_2)_m-E-(CH_2)_m-Z^2$;

E is O, S,-C(O)-, -C(O)-O-, -NH-C(O)-O-, $-N(C_1-C_6)$alkyl-C(O)-O- or a bond;

Z^2 is H, (C_1-C_{12})alkyl, amino, (C_1-C_{12})alkylamino, N,N-di-(C_1-C_{12})alkylamino, (C_1-C_{12})alkylguanidino, or an optionally substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl and naphthyl;

R^4 is H or $-(CH_2)_m-A^1$;

A^1 is $-C(=Y)-N(X^1X^2)$, $-C(=Y)-X^2$, $-C(=NH)-X^2$ or X^2;

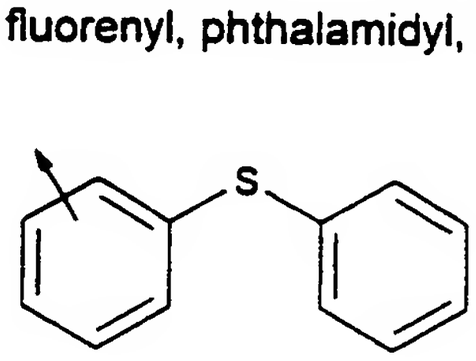
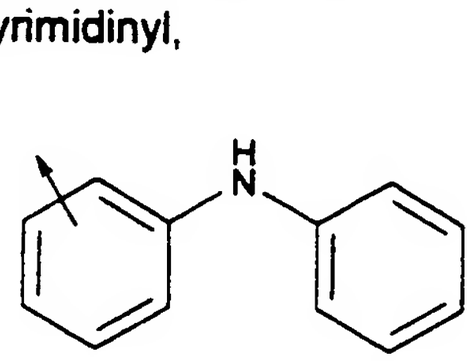
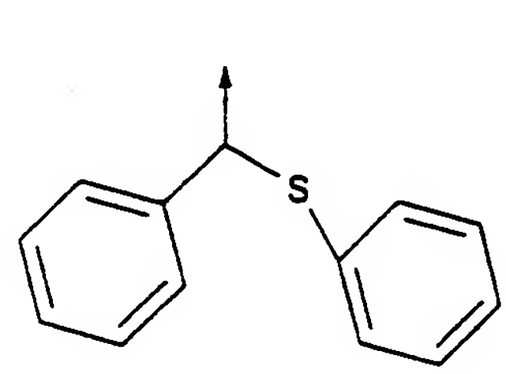
Y is O or S;

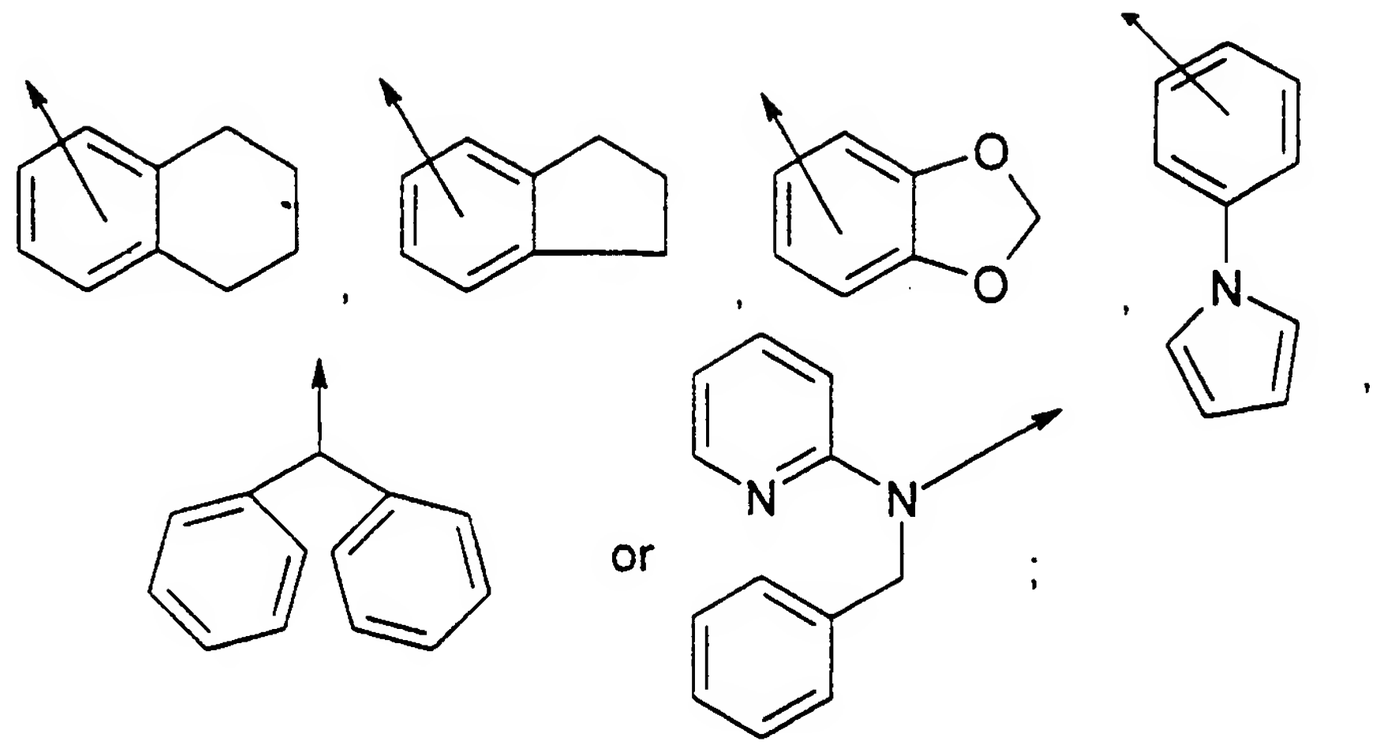
X^1 is H, (C_1-C_{12})alkyl, $-(CH_2)_m-NH-(C_1-C_6)$alkyl, $-(CH_2)_m-N-di-(C_1-C_6)$alkyl or $-(CH_2)_m$-aryl;

X^2 is $-(CH_2)_m-Y^1-X^3$ or optionally substituted (C_1-C_{12})alkyl;

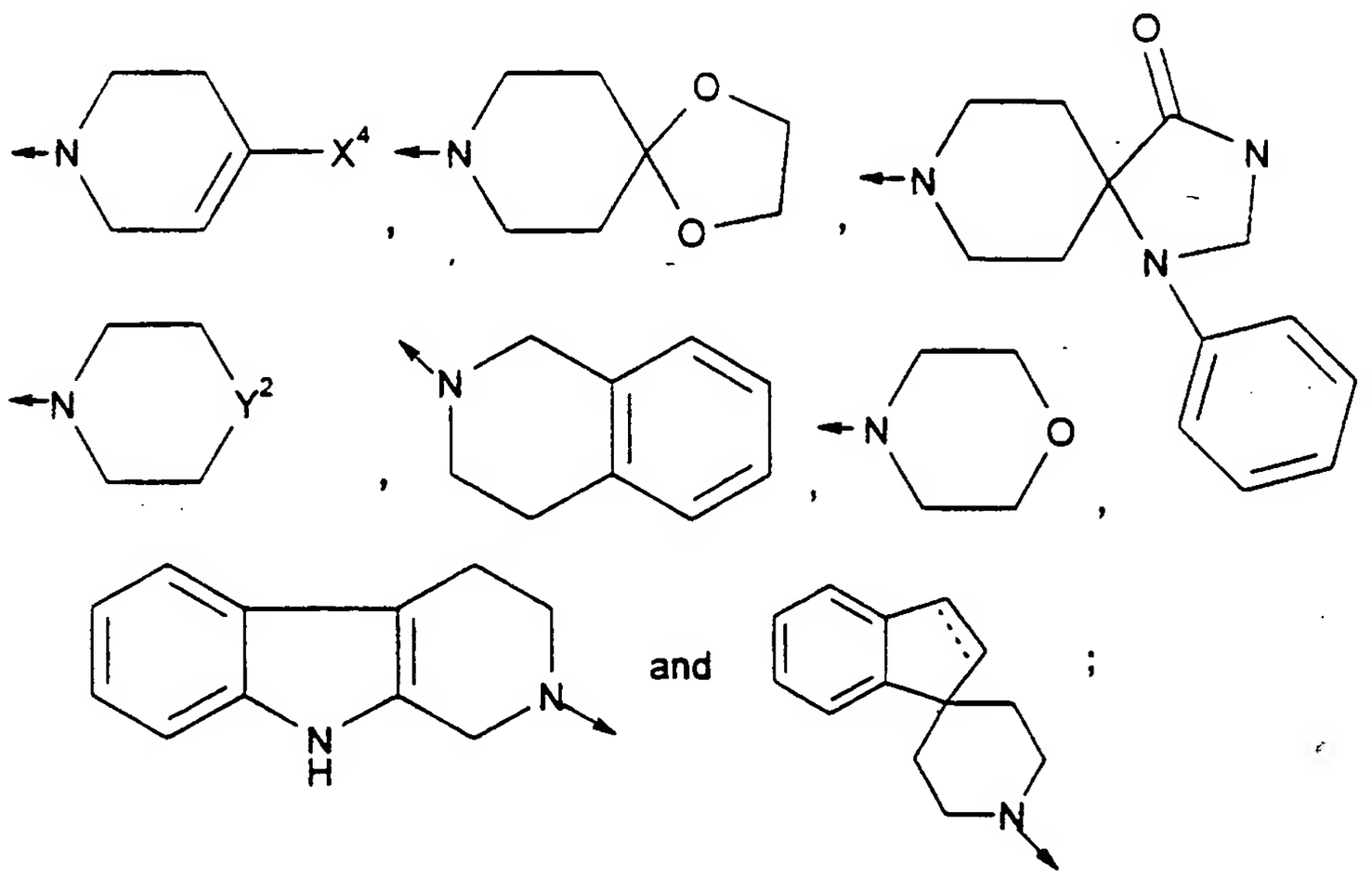
Y^1 is O, S, NH, C=O, (C_2-C_{12})alkenyl having one or more double bonds, -NH-CO-, -CO-NH-, $-NH-CO-O-(CH_2)_m-$, $-C\equiv C-$, SO_2 or a bond;

X^3 is H, an optionally substituted moiety selected from the group consisting of (C_1-C_{12})alkyl, (C_3-C_8)cycloalkyl, (C_1-C_{12})alkoxy, aryloxy, (C_1-C_{12})alkylamino, N,N-di-(C_1-C_{12})alkylamino, -CH-di-(C_1-C_{12})alkoxy, pyrrolidinyl, pyridinyl, thiophene, imidazolyl, piperidinyl, piperazinyl, benzothiazolyl, furanyl, indolyl, morpholino, benzo[b]furanyl, quinolinyl, isoquinolinyl, $-(CH_2)_m$-phenyl, naphthyl, fluorenyl, phthalamidyl, pyrimidinyl,



or X^1 and X^2 are taken together with the nitrogen to which they are attached to form an optionally substituted moiety selected from the group consisting of thiazolyl,

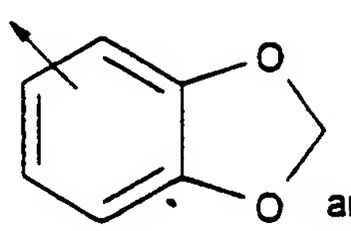
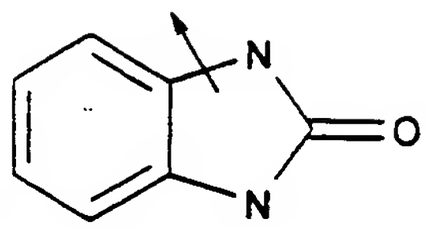


Y^2 is CH-X^4, N-X^4, -C(X^4X^4), O or S;

X^4 for each occurrence is independently H or -$(CH_2)_m$-Y^3-X^5;

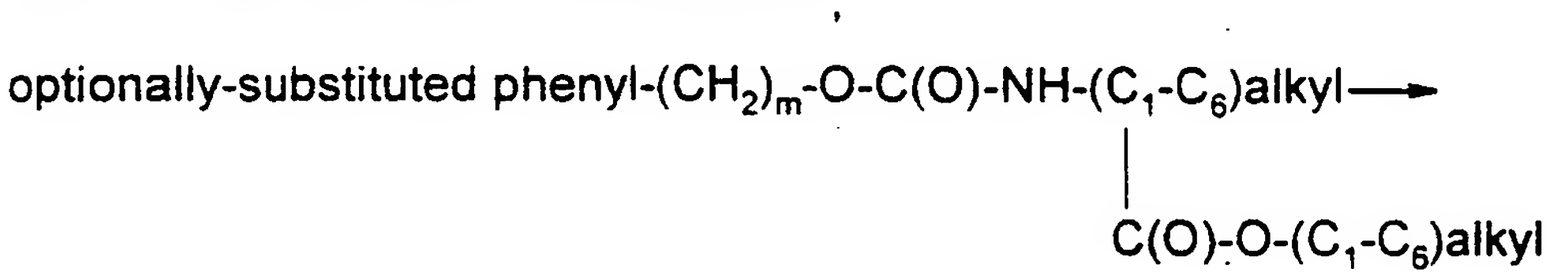
Y^3 is -C(O)-, -C(O)O- or a bond;

X^5 is hydroxy, (C_1-C_{12})alkyl, amino, (C_1-C_{12})alkylamino, N,N-di-(C_1-C_{12})alkylamino, or an optionally substituted moiety selected from the group consisting of aryl, aryl(C_1-C_4)alkyl, furanyl, pyridinyl, indolyl, piperidinyl, -CH(phenyl)$_2$,


and


R^5 is $(C_1\text{-}C_{12})$alkyl, $(C_0\text{-}C_6)$alkyl-C(O)-O-Z^5, $(C_0\text{-}C_6)$alkyl-C(O)-NH-$(CH_2)_m$-Z^3 or optionally substituted aryl;

Z^3 for each occurrence is independently amino, $(C_1\text{-}C_{12})$alkylamino, amino$(C_1\text{-}C_{12})$alkyl, $(C_5\text{-}C_7)$cycloalkylamino, amino$(C_5\text{-}C_7)$cycloalkyl, N-$(C_1\text{-}C_{12})$alkylamino, N,N-di-$(C_1\text{-}C_{12})$alkylamino, -NH-C(O)-O-$(CH_2)_m$-phenyl, -NH-C(O)-O-$(CH_2)_m$-$(C_1\text{-}C_6)$alkyl, -CH(phenyl)$_2$, $(C_5\text{-}C_7)$cycloalkyl,

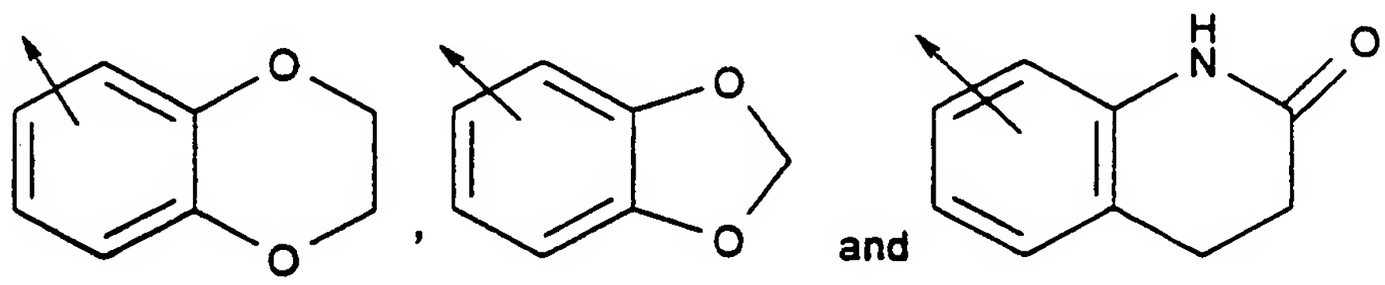


or an optionally substituted moiety selected from the group consisting of imidazolyl, pyridinyl, morpholino, piperidinyl, piperazinyl, pyrazolidinyl, furanyl, phenyl, indolyl and thiophene, provided that when m is 0 in the formula for R^5 then Z^3 is not -NH-C(O)-O-$(CH_2)_m$-phenyl or -NH-C(O)-O-$(CH_2)_m$-$(C_1\text{-}C_6)$alkyl;

R^6 is H or $(C_1\text{-}C_6)$alkyl;

R^7 is $(C_1\text{-}C_{12})$alkyl or -$(CH_2)_m$-Z^4;

Z^4 is an optionally substituted moiety selected from the group consisting of phenyl, naphthyl, indolyl, thiophene, benzo[b]furan, benzo[b]thiophene, isoxazolyl,


,

and

Z^5 is H, $(C_1\text{-}C_{12})$alkyl, or -$(CH_2)_m$-aryl;

wherein an optionally substituted moiety is optionally substituted by one or more substituents, each independently selected from the group consisting of Cl, F, Br, I, CF_3, CN, N_3, NO_2, OH, SO_2NH_2, -OCF_3, $(C_1\text{-}C_{12})$alkoxy, -$(CH_2)_m$-phenyl-$(X^6)_n$, -S-phenyl-$(X^6)_n$, -S-$(C_1\text{-}C_{12})$alkyl, -O-$(CH_2)_m$-phenyl-$(X^6)_n$, -$(CH_2)_m$-C(O)-O-$(C_1\text{-}C_6)$alkyl, -$(CH_2)_m$-C(O)-$(C_1\text{-}C_6)$alkyl, -O-$(CH_2)_m$-NH_2, -O-$(CH_2)_m$-NH-$(C_1\text{-}C_6)$alkyl, -O-$(CH_2)_m$-N-di-$((C_1\text{-}C_8)$alkyl), -$(C_0\text{-}C_{12})$alkyl-$(X^6)_n$ and -$(CH_2)_m$-phenyl-X^7;

X^6 for each occurrence is ind pendently selected from the group consisting of hydrogen, Cl, F, Br, I, NO_2, N_3, CN, OH, $-CF_3$, $-OCF_3$, (C_1-C_{12})alkyl, (C_1-C_{12})alkoxy, $-(CH_2)_m-NH_2$, $-(CH_2)_m-NH-(C_1-C_6)$alkyl, $-(CH_2)_m-N$-di-$((C_1-C_6)$alkyl) and $-(CH_2)_m-$ph nyl;

X^7 is $-NH-C(=NH\cdot HI)-X^8$, wherein X^8 is thiophene, (C_1-C_6)alkyl or phenyl;

m for each occurrence is independently 0 or an integer from 1 to 6; and

n for each occurrence is independently an integer from 1 to 5;

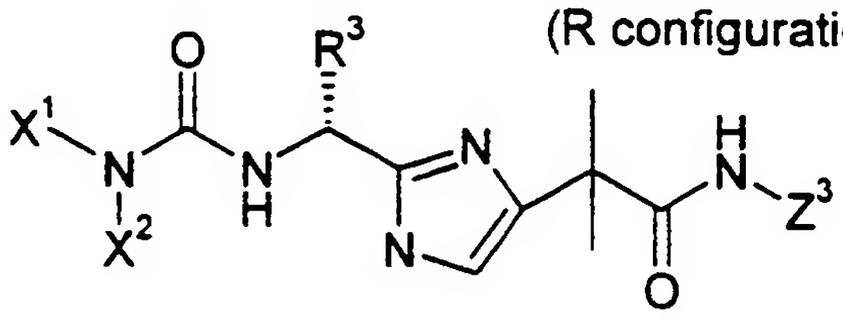
provided that:

(a) when R^5 is (C_1-C_{12})alkyl, or $-C(O)-O-Z^5$ and Z^5 is (C_1-C_{12})alkyl or optionally substituted aryl; R^6 is H or (C_1-C_6)alkyl; R^7 is (C_1-C_{12})alkyl or Z^4 and Z^4 is thiophene or optionally substituted phenyl, then R^3 is not $-C(O)-O-(CH_2)_m-Z$ where m is 0 and Z is H or (C_1-C_{12})alkyl or where m is 1 to 6 and Z is H;

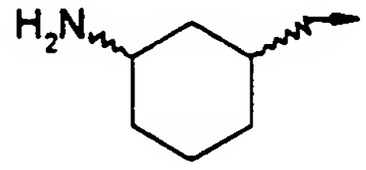
(b) when R^5 is (C_1-C_{12})alkyl or optionally subsituted phenyl; R^6 is H or (C_1-C_6)alkyl; R^7 is (C_1-C_{12})alkyl and R^3 is $-O-(CH_2)-Z^2$, then Z^2 is not an optionally substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl, and naphthyl; and

(c) when R^5 is H or (C_1-C_{12})alkyl; R^6 is (C_1-C_6)alkyl; R^7 is (C_1-C_{12})alkyl; and R^3 is $-O-Z^2$ or $-S-Z^2$, then Z^2 is not an optionally substituted moiety selected from the group consisting of phenyl, naphthyl, thiophene, benzothienyl and indolyl.

23. A compound according to claim 22 of the formula

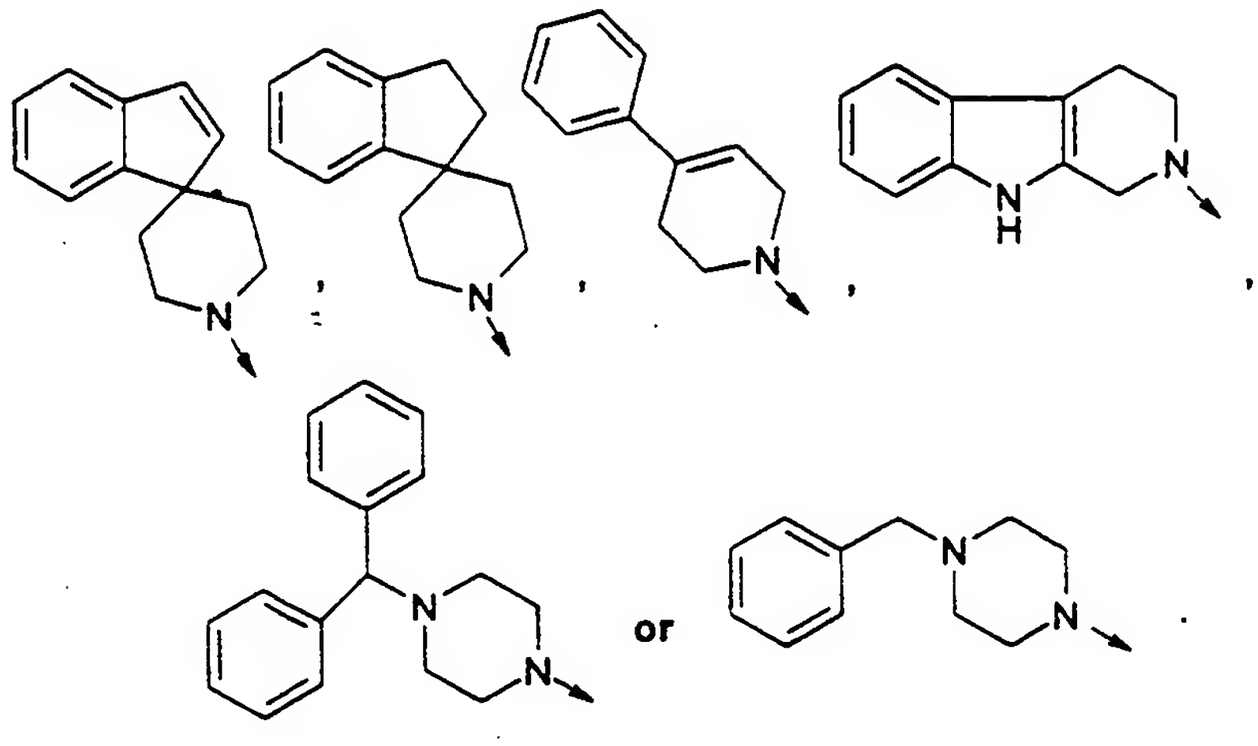


wherein

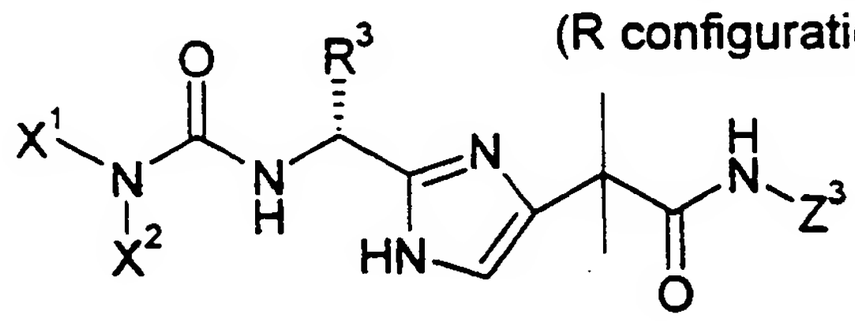
Z^3 is $-CH_2-NH_2$, $-(CH_2)_2-NH_2$, $-(CH_2)_3-NH_2$ or  ; and

X^1 is $-(CH_2)_2-N(CH_3)_2$ and X^2 is benzyl; or

X^1 and X^2 are taken together with the nitrogen atom to which they are attached, to form



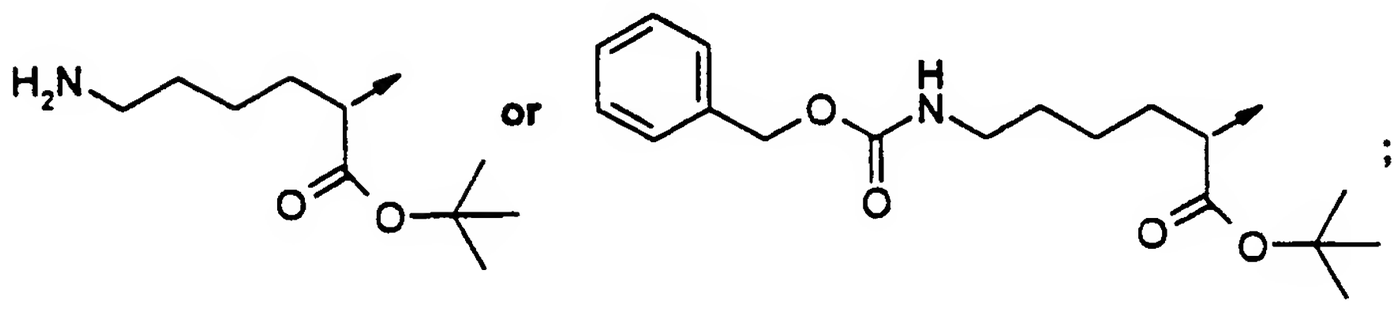
24. A compound according to claim 22 of the formula:



(R configuration)

wherein

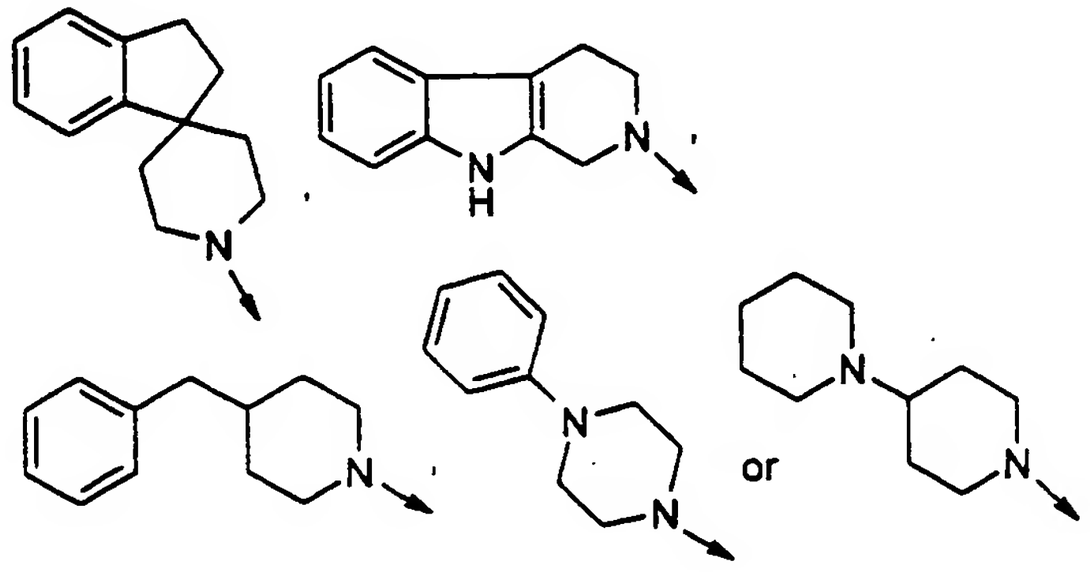
Z^3 is



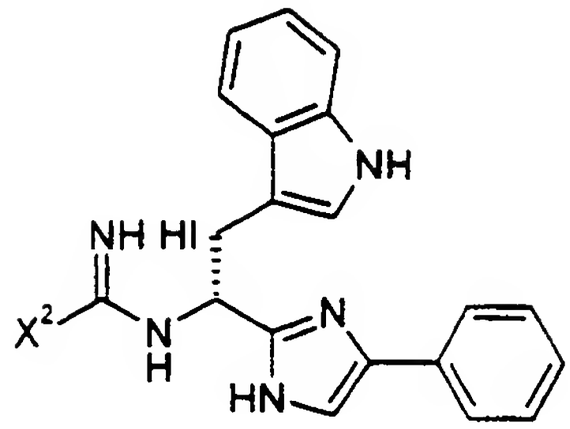
and

X^1 is $-(CH_2)_2-N(CH_3)_2$ and X^2 is benzyl; or

X^1 and X^2 are taken together with the nitrogen atom to which they are attached, to form

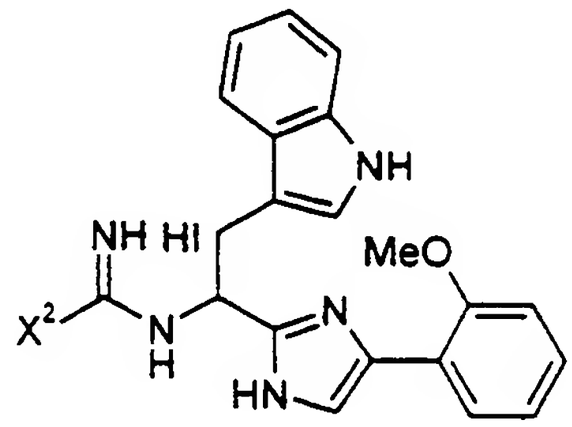


25. A compound according to claim 22 of the formula



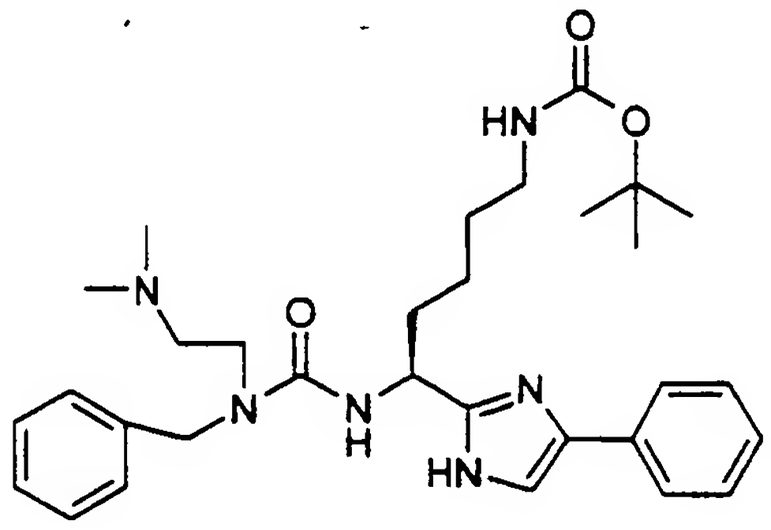
wherein X^2 is *p*-chloro-phenyl, *p*-methoxy-phenyl, 2,4-difluoro-phenyl or thienyl.

26. A compound according to claim 22 of the formula

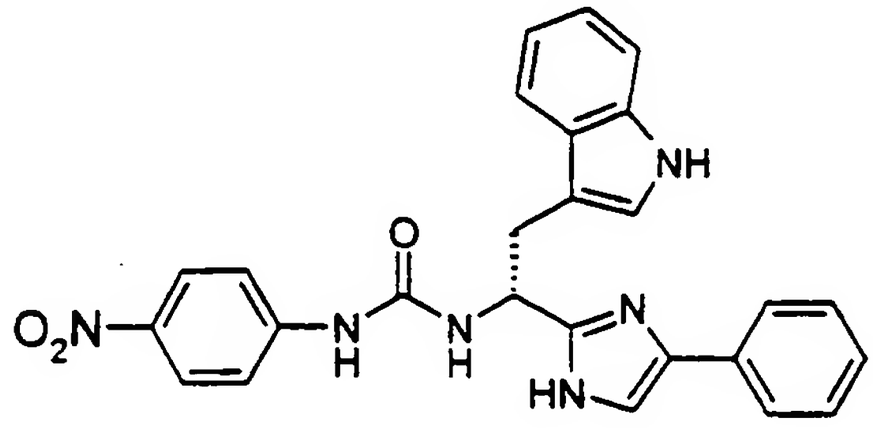


wherein X^2 is *p*-chloro-phenyl, *p*-methoxy-phenyl, phenyl or thienyl.

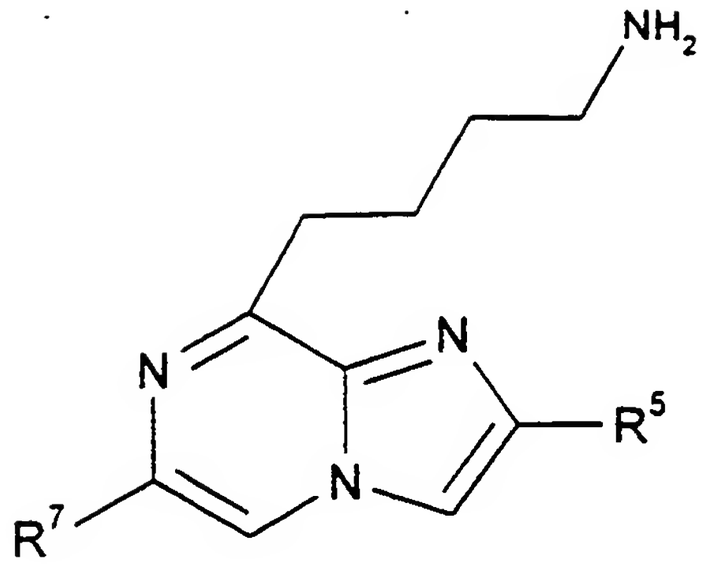
27. A compound according to claim 22 of the formula



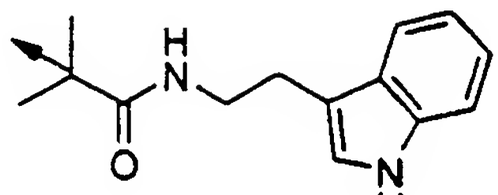
28. A compound according to claim 22 of the formula



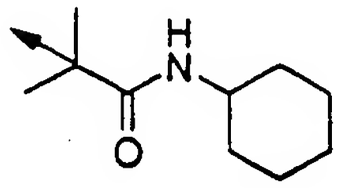
29. A compound according to claim 22 of the formula

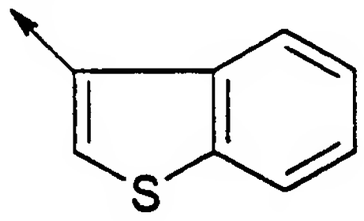


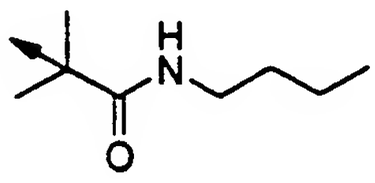
wherein

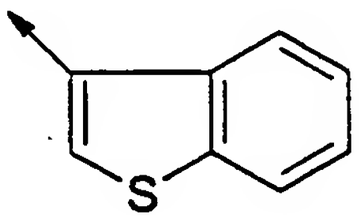


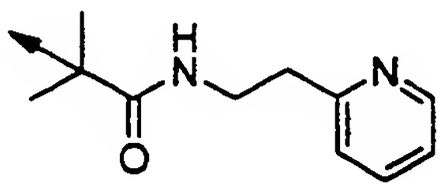
R^5 is and R^7 is *m*-nitro-phenyl or 2-phenyl-ethyl; or



R^5 is and R^7 is  ; or



R^5 is and R^7 is 3,4-dichlorophenyl or  ; or



R^5 is and R^7 is 3,4-dichlorophenyl.

30. A pharmaceutical composition comprising a compound according to claim 1 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptabl carrier.

31. A method of eliciting an agonist effect from one or more of a somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.

32. A method of eliciting an antagonist effect from one or more of a somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.

33. A method of binding one or mor of a somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.

34. A method of treating acromegaly, restenosis, Crohn's disease, systemic sclerosis, external and internal pancreatic pseudocysts and ascites, VIPoma, nesidoblastosis, hyperinsulinism, gastrinoma, Zollinger-Ellison Syndrome, diarrh a, AIDS related diarrhea, chemotherapy related diarrhea, scleroderma, Irritable Bowel Syndrome, pancreatitis, small bowel obstruction, gastroesophageal reflux, duodenogastric reflux, Cushing's Syndrome, gonadotropinoma, hyperparathyroidism, Graves' Disease, diabetic neuropathy, Paget's disease, polycystic ovary disease, cancer, cancer cachexia, hypotension, postprandial hypotension, panic attacks, GH secreting adenomas or TSH secreting adenomas, in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.

35. A method of treating diabetes mellitus, hyperlipidemia, insulin insensitivity, Syndrome X, angiopathy, proliferative retinopathy, dawn phenomenon, Nephropathy, peptic ulcers, enterocutaneous and pancreaticocutaneous fistula, Dumping syndrome, watery diarrhea syndrome, acute or chronic pancreatitis, gastrointestinal hormone secreting tumors, angiogenesis, inflammatory disorders, chronic allograft rejection, angioplasty, graft vessel bleeding or gastrointestinal bleeding in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.

36. A method of inhibiting the proliferation of helicobacter pylori in a subject in need thereof, which comprises administering a compound according claim 1 or a pharmaceutically acceptable salt thereof, to said subject.

37. A pharmaceutical composition comprising a compound according to claim 22 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier.

38. A method of eliciting an agonist effect from one or more of a somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof to said subject.

39. A method of eliciting an antagonist effect from one or more of a somatostatin subtype receptor in a subj ct in need thereof, which comprises

administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof to said subject.

40. A method of binding one or more somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof to said subject.

41. A method of treating acromegaly, restenosis, Crohn's disease, systemic sclerosis, external and internal pancreatic pseudocysts and ascites, VIPoma, nesidoblastosis, hyperinsulinism, gastrinoma, Zollinger-Ellison Syndrome, diarrhea, AIDS related diarrhea, chemotherapy related diarrhea, scleroderma, Irritable Bowel Syndrome, pancreatitis, small bowel obstruction, gastroesophageal reflux, duodenogastric reflux, Cushing's Syndrome, gonadotropinoma, hyperparathyroidism, Graves' Disease, diabetic neuropathy, Paget's disease, polycystic ovary disease, cancer, cancer cachexia, hypotension, postprandial hypotension, panic attacks, GH secreting adenomas or TSH secreting adenomas, in a subject in need thereof, which comprises administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof to said subject.

42. A method of treating diabetes mellitus, hyperlipidemia, insulin insensitivity, Syndrome X, angiopathy, proliferative retinopathy, dawn phenomenon, Nephropathy, peptic ulcers, enterocutaneous and pancreaticocutaneous fistula, Dumping syndrome, watery diarrhea syndrome, acute or chronic pancreatitis, gastrointestinal hormone secreting tumors, angiogenesis, inflammatory disorders, chronic allograft rejection, angioplasty, graft vessel bleeding or gastrointestinal bleeding in a subject in need thereof, which comprises administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof to said subject.

43. A method of inhibiting the proliferation of helicobacter pylori in a subj ct in need thereof, which comprises administering a compound according claim 22 or a pharmaceutically acceptable salt thereof, to said subject.